

PCT/JP2004/00  
Masakazu IMAMURA et al.  
Attorney Docket No. 07580.0005

**AMENDED CLAIMS UNDER PCT ARTICLE 34  
(ARTICLE 34 AMENDMENTS)**

**MAIL STOP PCT**

**Commissioner for Patents  
P.O. Box 1450  
Alexandria, VA 22313-1450**

Sir:

**REQUEST FOR SUBSTITUTION OF REPLACEMENT SHEETS**

Please substitute the attached replacement sheets 128-132 of the claims containing the translation of the Article 34 Amendments for sheets 128-132 of the claims in the enclosed translation of the as-filed PCT application. It is respectfully requested that the claims in the replacement sheets be examined during examination of the patent application. Claims 1-12 are currently pending.

Respectfully submitted,

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Dated: July 7, 2005

By: 

David W. Hill

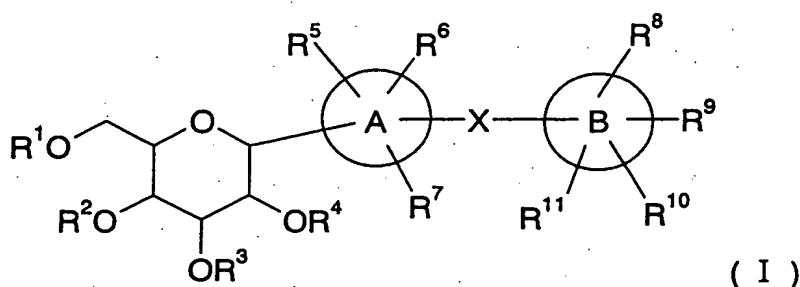
Reg. No. 28,220

DWH/FPD/sci

ERNEST F. CHAPMAN  
Reg. No. 25,961

## CLAIMS

1. (Amended) A C-glycoside derivative of the following formula (I) and a salt thereof:



wherein A ring represents (1) a benzene ring, (2) a five or six-membered monocyclic heteroaryl ring having 1 to 4 hetero atom(s) selected from N, S, and O except for triazoles and tetrazoles, or (3) a saturated or unsaturated eight to ten-membered bicyclic hetero ring having 1 to 4 hetero atom(s) selected from N, S, and O;

B ring represents (1) a saturated or unsaturated eight to ten-membered bicyclic hetero ring having 1 to 4 hetero atom(s) selected from N, S, and O, (2) a saturated or unsaturated five or six-membered monocyclic hetero ring having 1 to 4 hetero atom(s) selected from N, S, and O, (3) an unsaturated eight or nine-membered bicyclic hydrocarbon ring, or (4) a benzene ring;

X represents a bond or lower alkylene;

wherein A ring, B ring, and X have a correlation that (1) when A ring is a benzene ring, B ring is a ring other than a benzene ring or that (2) when A ring is a benzene ring, and B ring is a saturated or unsaturated eight to ten-membered bicyclic hetero

ring having 1 to 4 hetero atom(s) selected from N, S, and O including a benzene ring, or a saturated or unsaturated eight to ten-membered bicyclic hydrocarbon ring including a benzene ring, X is bonded to the B ring in a part other than the benzene ring included in the B ring;

R<sup>1</sup> to R<sup>4</sup> individually represent a hydrogen atom, a lower alkyl, -C(=O)-lower alkyl, or -lower alkylene-aryl; and

R<sup>5</sup> to R<sup>11</sup> individually represent a hydrogen atom, a lower alkyl, a cycloalkyl, a halogen, a halogen-substituted lower alkyl, -OH, =O, -NH<sub>2</sub>, lower alkyl sulfonyl-, halogen-substituted lower alkyl sulfonyl-, aryl sulfonyl-, an aryl, a saturated or unsaturated five or six-membered monocyclic hetero ring having 1 to 4 hetero atom(s) selected from N, S, and O, -lower alkylene-OH, -lower alkylene-O-lower alkyl, -lower alkylene-O-C(=O)-lower alkyl, -lower alkyl, -lower alkylene-O-lower alkylene-COOH, -lower alkylene-O-lower alkylene-C(=O)-O-lower alkyl, -lower alkylene-NH<sub>2</sub>, -lower alkylene-NH-lower alkyl, -lower alkylene-N(lower alkyl)<sub>2</sub>, -lower alkylene-NH-C(=O)-lower alkyl, -COOH, -CN, -C(=O)-O-lower alkyl, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-lower alkyl, -C(=O)-N(lower alkyl)<sub>2</sub>, -O-lower alkyl, -O-cycloalkyl, -O-lower alkylene-OH, -O-lower alkylene-O-lower alkyl, -O-lower alkylene-COOH, -O-lower alkylene-C(=O)-O-lower alkyl, -O-lower alkylene-C(=O)-NH<sub>2</sub>, -O-lower alkylene-C(=O)-NH-lower alkyl, -O-lower alkylene-C(=O)-N(lower alkyl)<sub>2</sub>, -O-lower alkylene-CH(OH)-CH<sub>2</sub>(OH), -O-lower alkylene-NH<sub>2</sub>, -O-lower alkylene-NH-lower alkyl, -O-lower alkylene-N(lower alkyl)<sub>2</sub>, -O-lower alkylene-NH-C(=O)-lower alkyl, -NH-lower alkyl,

$\cdot\text{N}(\text{lower alkyl})_2$ ,  $\cdot\text{NH}\cdot\text{SO}_2\cdot\text{lower alkyl}$ ,  $\cdot\text{NH}\cdot\text{SO}_2\cdot\text{halogen-substituted lower alkyl}$ ,  
 $\cdot\text{NH}\cdot\text{lower alkylene}\cdot\text{OH}$ ,  $\cdot\text{NH}\cdot\text{C}(=\text{O})\cdot\text{lower alkyl}$ ,  $\cdot\text{NH}\cdot\text{C}(=\text{O})\cdot\text{NH}_2$ ,  
 $\cdot\text{NH}\cdot\text{C}(=\text{O})\cdot\text{NH}\cdot\text{lower alkyl}$ ,  $\cdot\text{NH}\cdot\text{C}(=\text{O})\cdot\text{N}(\text{lower alkyl})_2$ , or ,  
 $\cdot\text{NH}\cdot\text{C}(=\text{O})\cdot\text{O}\cdot\text{lower alkyl}$ .

2. (Amended) A C-glycoside derivative and the salt thereof according to Claim 1, wherein the A ring in the formula (I) is (1) a benzene ring or (2) a five or six-membered monocyclic heteroaryl ring having 1 to 4 hetero atom(s) selected from N, S, and O except for triazoles and tetrazoles.
3. A C-glycoside derivative and the salt thereof according to Claim 2, wherein the B ring in the formula (I) is (1) a saturated or unsaturated eight to ten-membered bicyclic hetero ring having 1 to 4 hetero atom(s) selected from N, S, and O or (2) a saturated or unsaturated five or six-membered monocyclic hetero ring having 1 to 4 hetero atom(s) selected from N, S, and O.
4. A C-glycoside derivative and the salt thereof according to Claim 3, wherein the A ring in the formula (I) is a benzene ring and the B ring is a saturated or unsaturated eight to ten-membered bicyclic hetero ring having 1 to 4 hetero atom(s) selected from N, S, and O.
5. A C-glycoside derivative and the salt thereof according to Claim 4, wherein the X in the formula (I) is methylene.
6. A C-glycoside derivative and the salt thereof according to Claim 5, wherein the  $\text{R}^1$  to  $\text{R}^4$  in the formula (I) are hydrogen atoms.

7. A C-glycoside derivative and the salt thereof according to Claim 1, wherein the C-glycoside derivative of the formula (I) is at least one compound selected from the group consisting of

(1S)-1,5-anhydro-1-[3-(1-benzothiene-2-ylmethyl)phenyl]-D-glucitol, (1S)-1,5-anhydro-1-[5-(1-benzothiene-2-ylmethyl)-2-hydroxyphenyl]-D-glucitol, (1S)-1,5-anhydro-1-[5-(1-benzothiene-2-ylmethyl)-2-methoxyphenyl]-D-glucitol, (1S)-1,5-anhydro-1-[5-(1-benzothiene-2-ylmethyl)-2-(2-hydroxyethoxy)phenyl]-D-glucitol, (1S)-1,5-anhydro-1-[5-(1-benzothiene-2-ylmethyl)-2-(methylamino)phenyl]-D-glucitol, (1S)-1,5-anhydro-1-[5-(1-benzothiene-2-ylmethyl)-2-[(2-hydroxyethoxy)amino]phenyl]-D-glucitol, (1S)-1,5-anhydro-1-[5-(1-benzothiene-2-ylmethyl)-4-methoxyphenyl]-D-glucitol, (1S)-1,5-anhydro-1-[5-(1-benzothiene-2-ylmethyl)-4-chlorophenyl]-D-glucitol, (1S)-1,5-anhydro-1-[5-(1-benzothiene-2-ylmethyl)-4-fluorophenyl]-D-glucitol, (1S)-1,5-anhydro-1-[5-(1-benzothiene-2-ylmethyl)-2,4-dimethoxyphenyl]-D-glucitol, (1S)-1,5-anhydro-1-[5-(1-benzothiene-2-ylmethyl)-4-chloro-2-methoxyphenyl]-D-glucitol, (1S)-1,5-anhydro-1-[5-(1-benzothiene-2-ylmethyl)-4-chloro-2-hydroxyphenyl]-D-glucitol, (1S)-1,5-anhydro-1-[5-(1-benzothiene-2-ylmethyl)-4-fluoro-2-hydroxyphenyl]-D-glucitol, and (1S)-1,5-anhydro-1-[5-(1-benzothiene-2-ylmethyl)-4-fluoro-2-methoxyphenyl]-D-glucitol.

8. A pharmaceutical composition containing a C-glycoside derivative or a salt thereof according to any one of Claims 1 to 7.

9. A pharmaceutical composition according to Claim 8, wherein the composition is a  $\text{Na}^+$ -glucose cotransporter inhibitor.
10. A pharmaceutical composition according to Claim 8, wherein the composition is an antidiabetic agent.
11. Use of the C-glycoside derivative and the salt thereof according to any one of Claims 1 to 7 for producing a  $\text{Na}^+$ -glucose cotransporter inhibitor or an antidiabetic agent.
12. A method for treating diabetes comprising administering an effective amount of the C-glycoside derivative and the salt thereof according to any one of Claims 1 to 7 to a patient.